BACKGROUND OF THE INVENTION

The invention is centered on the use of the Choline derivatives (esters) which are sufficiently hydrophobic to cross the blood brain barrier. The ester moiety can be any chemical group. Thus these esters can be used for the following purposes: The use of the class of compounds described in Claim 1 for the treatment of the following:

- Alzheimer's disease
- Down syndrome
- Central Nervous System (CNS) disorders
- Peripheral Nervous System (PNS) disorders
- Memory related disorders
- Enhancements of memory and related function
- Enhancement of CNS functions
- Enhancements of PNS functions
- Improvement of cognition
- Improvement in learning and behavior

SPECIFICATION

This invention is for the treatment of Alzheimer's disease, Down syndrome, Central (or Peripheral) Nervous Systems' disorders or for the enhancement of memory and central nervous system or peripheral nervous system. In this invention a novel class of Choline derivatives (See Table 1 and Table 2) are recommended for the above mentioned indications. These derivatives are the esters of Choline. An example of this class was newly synthesized using Stearic acid. This derivative named Stearyl Choline Cloride or Choline Staearate Chloride or Stearic acid ester of Choline was synthesized and tested in animals.

Use of the these Choline ester derivatives will allow the followings:
1. Will help treat Alzheimer's disease.
2. Will help improve memory functions and Central nervous System and/or Peripheral Nervous System disorders.
3. Will help the treatment of Down Syndrome.

CLAIMS

1. A new invention of Choline ester derivatives including Stearyl Choline Cloride (other names Choline Stearate Chloride, Choline ester of stearic acid) is claimed and as novel class of compound.

2. The use of the class of compounds described in Claim 1 for the treatment of the following:
   - Alzheimer's disease
   - Down syndrome
   - Central Nervous System (CNS) disorders
   - Peripheral Nervous System (PNS) disorders
   - Memory related disorders
   - Enhancements of memory and related function
   - Enhancement of CNS functions
   - Enhancements of PNS functions
   - Improvement of cognition

- Improvement in learning and behavior

3. This novel class of compounds:

- Will be better absorbed
- With none or very less toxicity
- With none or very less side effects

BRIEF SUMMARY OF THE INVENTION

The current invention is centered on the use synthesis and use of Choline esters (see Table 1) (esp. Stearyl Choline Salts) for the treatment of the following indications:

a. Alzheimer's disease

b. Down syndrome

c. Central Nervous System (CNS) disorders

d. Peripheral Nervous System (PNS) disorders

e. Memory related disorders

f. Enhancements of memory and related function

g. Enhancement of CNS functions

h. Enhancements of PNS functions

i. Improvement of cognition

j. Improvement in learning and behavior

Following are the claimed benefits of the use Choline esters (esp. Stearyl Choline Salt):

1. Better absorption through the GI system

2. Better penetration through the blood brain barrier.

3. No toxicity as it is made up of natural compounds

4. No side effects

TITLE OF INVENTION

"A Novel Class of Compounds (Choline Derivatives, esp. Stearyl Choline Cloride and other salts) for the Treatment of Alzhermer's Disease, Down Syndrome and Central (and/or Peripheral) Nervous System and Memory related Disorders or for Enhancements"

DETAILED DESCRIPTION OF THE INVENTION

This invention is for the treatment of Alzheimer's disease, Down syndrome, Central (or Peripheral) Nervous Systems' disorders or for the enhancement of memory and central nervous system or peripheral nervous system. In this invention a novel class of Choline derivatives are recommended for the above mentioned indications. These derivatives are the esters of Choline. An example of this class was newly synthesized using Stearic acid. This derivative named Stearyl Choline Cloride or Choline Staearate Chloride or Stearic acid ester of Choline was synthesized and tested in animals.

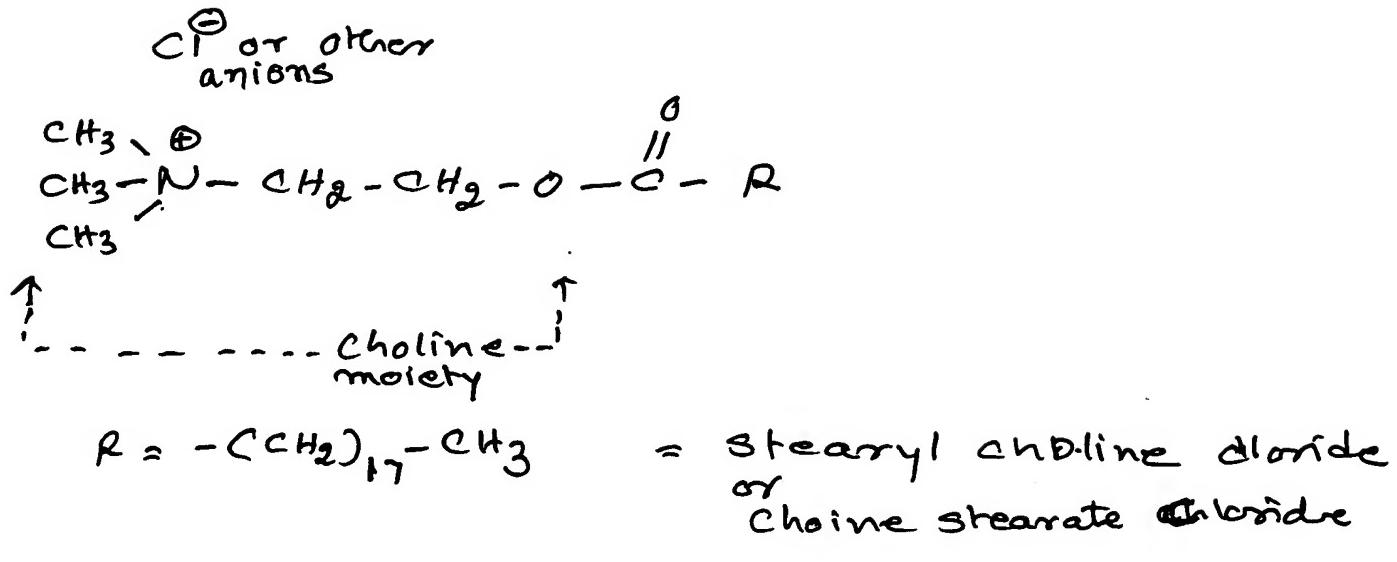Use of the these Choline ester derivatives will allow the followings:

- Will help treat Alzheimer's disease.
- Will help improve memory functions and
- Will help the treatment of Down Syndrome.
- In treatment of Central Nervous System (CNS) disorders
- In treatment of Peripheral Nervous System (PNS) disorders
- Help in treating memory related disorders
- Will help in enhancements of memory and related function
- Will help in enhancement of CNS functions
- Will help in enhancements of PNS functions
- Will help in improvement of cognition
- Will help in improvement in learning and behavior

Other benefits of the use of Choline esters are:

- Better absorption through the GI system
- Better penetration through the blood brain barrier.
- No toxicity as it is made up of natural compounds
- No side effects

Table 1

Structures of Choline Esters

$$CH_3-\overset{\underset{\displaystyle CH_3}{|}}{\underset{}{\overset{\displaystyle CH_3}{|}}}\overset{\oplus}{N}-CH_2-CH_2-O-\overset{\overset{\displaystyle O}{\|}}{C}-R$$

Cl⊖ or other anions

--- Choline -- moiety

$R = -(CH_2)_{17}-CH_3$ = Stearyl choline chloride or Choine stearate Chloride

$R = -$ Any other chemical moiety

Table 2

Supportive Data

Shasun Chemicals And Drugs Ltd.

SHASUN CHEMICALS & DRUGS LIMITED
RESEARCH & DEVELOPMENT

CERTIFICATE OF ANALYSIS
CHOLINE STEARATE CHLORIDE

1. Sample Quality   : Pure (Batch # KN-234i)

2. Sample Amount   : 7.00g

3. Sample Appearance   : Off white powder
(relatively hygroscopic)

4. Sample Solubility   : Maximum solubility in
alcohols, also shows solubility
in ethereal solvents, acetone and
water(floats as a foam, slightly
colloidal on shaking)

5. Sample Identity   : IR spectrum (annexure I)
Proton NMR (annexure II)
Carbon NMR (annexure III)
DEPT-135 NMR (annexure IV)

6. Last solvent used   : Diethyl ether

7. Sample purity   : NLT 95 % (NMR), choline chloride
in traces

8. Method of drying   : Vacuum drying at 36C, 6h

9. Chloride Content   : 8.74% (w/w)

R.Rajan 03/07/2003
Analyzed by :
Ms. R. Rajeswari

Sugata Chatterjee/03 July, 2003
Certified by :
Sugata Chatterjee, Ph.D. (U.S.A.)